CLAIMS

1 A compound comprising a specifier (V) linked to two or more of the same or different leaving groups (Z) via a self-eliminating multiple release spacer or spacer system, which compound upon a single activation step releases at least two leaving groups, said activation step being the removal or transformation of the specifier.

2 A compound according to claim 1 comprising two or more self-eliminating multiple release spacers.

3 A compound according to claim 1 or 2 comprising a self-eliminating multiple release spacer system incorporating two or more generations of self-eliminating multiple release spacers in the form of a dendritic structure.

4 A compound according to claim 1, 2 or 3 having a formula selected from

$V\text{-}(W\text{-})_w(X\text{-})_xC((A\text{-})_aZ)_c$,

$V\text{-}(W\text{-})_w(X\text{-})_xC(D((A\text{-})_aZ)_d)_c$,

$V\text{-}(W\text{-})_w(X\text{-})_xC(D(E((A\text{-})_aZ)_e)_d)_c$, and

$V\text{-}(W\text{-})_w(X\text{-})_xC(D(E(F((A\text{-})_aZ)_f)_e)_d)_c$,

wherein:

V is selected from [O] and a specifier which is removed or transformed by a chemical, photochemical, physical, biological, or enzymatic activation, optionally after prior binding to a receptor;

$(W\text{-})_w(X\text{-})_xC((A\text{-})_a)_c$,

$(W\text{-})_w(X\text{-})_xC(D((A\text{-})_a)_d)_c$,

$(W\text{-})_w(X\text{-})_xC(D(E((A\text{-})_a)_e)_d)_c$, and

$(W\text{-})_w(X\text{-})_xC(D(E(F((A\text{-})_a)_f)_e)_d)_c$

are self-eliminating multiple release spacers or spacer systems;

W and X are each a single release 1,(4+2n) electronic cascade spacer, being the same or different;

A is a cyclization elimination spacer;

C, D, E, and F are each a self-eliminating multiple release spacer or spacer system that upon activation can maximally release c, d, e, and f leaving groups, respectively;

each Z is independently a leaving group or H or OH or a reactive moiety;

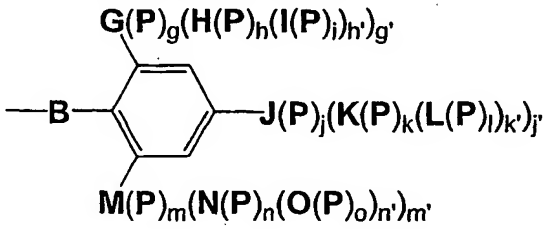
a is 0 or 1;

c, d, e, and f are independently an integer from 2 (included) to 24 (included);

w and x are independently an integer from 0 (included) to 5 (included);

n is an integer of 0 (included) to 10 (included).

5 A compound according to any of the preceding claims, wherein the self-elimination multiple release spacers or spacer systems **C**, **D**, **E**, and **F** are independently selected from compounds having the formula



Wherein

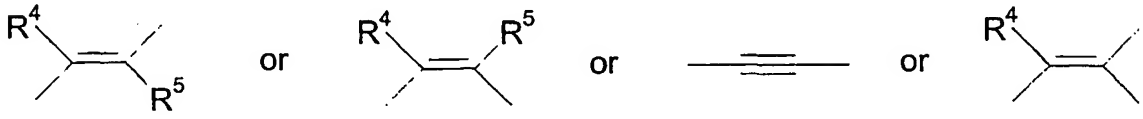
B is selected from NR^1, O, and S;

P is $C(R^2)(R^3)$**Q**-(**W**-)$_w$(**X**-)$_x$; wherein

Q has no meaning or is -O-CO-;

W and **X** are each a single release 1,(4+2n) electronic cascade spacer, being the same or different;

G, **H**, **I**, **J**, **K**, **L**, **M**, **N**, and **O** are independently selected from compounds having the formula:

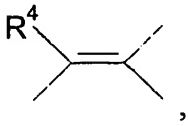


wherein R^1, R^2, R^3, R^4, and R^5 independently represent H, C_{1-6} alkyl, C_{3-20} heterocyclyl, C_{5-20} aryl, C_{1-6} alkoxy, hydroxy (OH), amino (NH_2), mono-substituted amino (NR_xH), di-substituted amino ($NR_x^1R_x^2$), nitro (NO_2), halogen, CF_3, CN, $CONH_2$, SO_2Me, CONHMe, cyclic C_{1-5} alkylamino, imidazolyl, C_{1-6} alkylpiperazinyl, morpholino, thiol (SH), thioether (SR_x), tetrazole, carboxy (COOH), carboxylate ($COOR_x$), sulphoxy

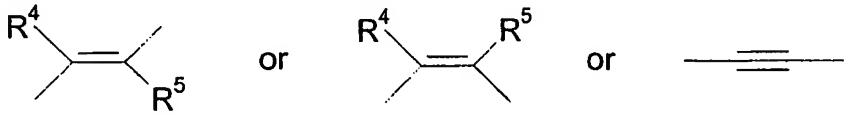
($S(=O)_2OH$), sulphonate ($S(=O)_2OR_x$), sulphonyl ($S(=O)_2R_x$), sulphixy ($S(=O)OH$), sulphinate ($S(=O)OR_x$), sulphinyl ($S(=O)R_x$), phosphonooxy ($OP(=O)(OH)_2$), and phosphate ($OP(=O)(OR_x)_2$), where R_x, R_x^1 and R_x^2 are independently selected from a C_{1-6} alkyl group, a C_{3-20} heterocyclyl group or a C_{5-20} aryl group, two or more of the substituents R^1, R^2, R^3, R^4, and R^5 optionally being connected to one another to form one or more aliphatic or aromatic cyclic structures,

or

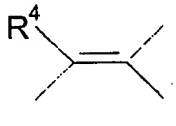
G, **J**, and **M** may also be selected from the group of **P** and hydrogen with the proviso that if two of **G**, **J**, and **M** are hydrogen, the remaining group must be



or be



and at the same time be conjugated to



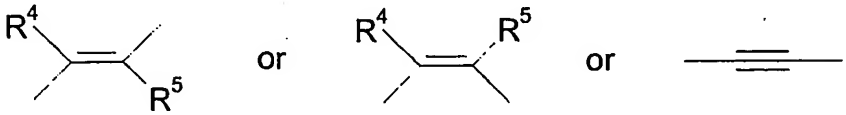
g, h, i, j, k, l, m, n, o, h', g', k', j', n', m' are independently 0, 1, or 2 with the provisos that

if **G** = hydrogen or **P**, g, h, i, h', and g' all equal 0;

if **J** = hydrogen or **P**, j, k, l, k', and j' all equal 0;

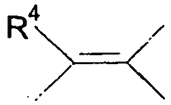
if **M** = hydrogen or **P**, m, n, o, n', and m' all equal 0;

if **G**, **H**, **I**, **J**, **K**, **L**, **M**, **N**, or **O** is



then g + g' = 1, h + h' = 1, i = 1, j + j' = 1, k + k' = 1, l = 1, m + m' = 1, n + n' = 1, or o = 1, respectively;

if **G**, **H**, **I**, **J**, **K**, **L**, **M**, **N**, or **O** is



then g + g' = 2, h + h' = 2, i = 2, j + j' = 2, k + k' = 2, l = 2, m + m' = 2, n + n' = 2, or o = 1, respectively;

if g' = 0 and **G** is not hydrogen or **P**, then h, h', and i equal 0 and g > 0;

if g = 0 and **G** is not hydrogen or **P**, then g' > 0;

if g' > 0 and h' = 0, then i = 0 and h > 0;

if g' > 0 and h = 0, then h' > 0 and i > 0;

if j' = 0 and **J** is not hydrogen or **P**, then k, k', and l equal 0 and j > 0;

if j = 0 and **J** is not hydrogen or **P**, then j' > 0;

if j' > 0 and k' = 0, then l = 0 and k > 0;

if j' > 0 and k = 0, then k' > 0 and l > 0;

if m' = 0 and **M** is not hydrogen or **P**, then n, n', and o equal 0 and m > 0;

if m = 0 and **M** is not hydrogen or **P**, then m' > 0;

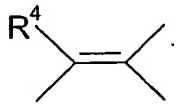
if m' > 0 and n' = 0, then o = 0 and n > 0;

if m' > 0 and n = 0, then n' > 0 and o > 0;

w and x are independently an integer from 0 (included) to 5 (included);

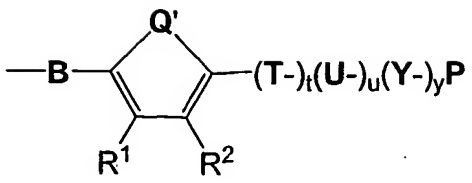
with the proviso that

if the compound contains only **C** and no **D**, no **E**, and no **F** are present, and **B** = NR^1, and **G** and **M** are H, and g, h, i, h', g', k, l, k', l', m, n, o, n', and m' are 0, and **J** =



, and j = 2, and **Q** = -O-CO-, and w and x are 0, and R^1, R^2, R^3, and R^4 are H, then at least one of the leaving groups **Z** is not connected to **Q** via an aliphatic amino group.

6 A compound according to any of the preceding claims, wherein the 1,(4+2n) electronic cascade spacers **W** and **X** are independently selected from compounds having the formula



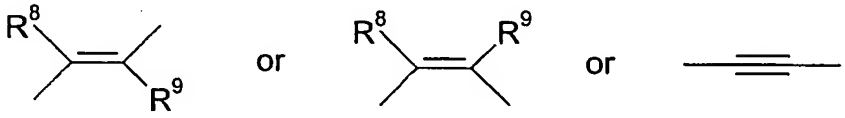
Q' = -R^5C=CR^6-, S, O, NR^5, -R^5C=N-, or -N=CR^5-
B = NR^7, O, S
P = $C(R^3)(R^4)Q$

wherein

Q has no meaning or is -O-CO-;

t, u, and y are independently an integer of 0 to 5;

T, U, and Y are independently selected from compounds having the formula:



wherein R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, and R^9 independently represent H, C_{1-6} alkyl, C_{3-20} heterocyclyl, C_{5-20} aryl, C_{1-6} alkoxy, hydroxy (OH), amino (NH_2), mono-substituted amino (NR_xH), di-substituted amino ($NR_x^1R_x^2$), nitro (NO_2), halogen, CF_3, CN, $CONH_2$, SO_2Me, CONHMe, cyclic C_{1-5} alkylamino, imidazolyl, C_{1-6} alkylpiperazinyl, morpholino, thiol (SH), thioether (SR_x), tetrazole, carboxy (COOH), carboxylate ($COOR_x$), sulphoxy ($S(=O)_2OH$), sulphonate ($S(=O)_2OR_x$), sulphonyl ($S(=O)_2R_x$), sulphixy (S(=O)OH), sulphinate ($S(=O)OR_x$), sulphinyl ($S(=O)R_x$), phosphonooxy ($OP(=O)(OH)_2$), and phosphate ($OP(=O)(OR_x)_2$), where R_x, R_x^1 and R_x^2 are independently selected from a C_{1-6} alkyl group, a C_{3-20} heterocyclyl group or a C_{5-20} aryl group, two or more of the substituents R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, or R^9 optionally being connected to one another to form one or more aliphatic or aromatic cyclic structures.

7 A compound according to any of the preceding claims wherein the leaving groups Z are linked to the self-eliminating multiple release spacer or spacer system via an O, S, or aromatic N of the leaving group.

8 A compound according to any of the preceding claims wherein the leaving groups **Z** are linked to the self-eliminating multiple release spacer or spacer system via an aliphatic N and wherein at least one multiple release spacer or spacer system of either generation **C**, **D** (if present), **E** (if present), or **F** (if present) is a phenol- or thiophenol-based multiple release spacer or spacer system, meaning that

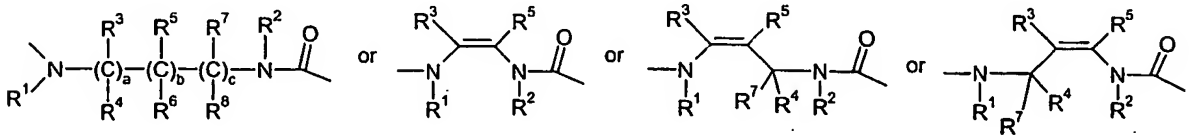
i) **B** = O or S for at least one multiple release spacer in said generation, or

ii) when **B** = N for all multiple release spacers in said generation, at least one single release spacer is connected to at least two branches of at least one multiple release spacer in said generation, and **B** = O or S for at least two of said single release spacers.

9 A compound according to claim 8 wherein **B** = O or S for all multiple release spacers or spacer systems in said generation.

10 A compound according to claims 8 or 9, wherein the phenol- or thiophenol-based multiple release spacers are connected to either **A** or **Z** or **S**, wherein **S** is as defined in claim 26.

11 A compound according to any of the preceding claims, wherein the ω-amino aminocarbonyl cyclization elimination spacer **A** is a compound having the formula:



wherein:

a is an integer of 0 or 1; and

b is an integer of 0 or 1; and

c is an integer of 0 or 1; provided that

a + b + c = 2 or 3;

and wherein R^1 and R^2 independently represent H, C_{1-6} alkyl, said alkyl being optionally substituted with one or more of the following groups: hydroxy (OH), ether (OR_x), amino (NH_2), mono-substituted amino (NR_xH), di-substituted amino ($NR_x{}^1R_x{}^2$),

nitro (NO_2), halogen, CF_3, CN, $CONH_2$, SO_2Me, CONHMe, cyclic C_{1-5} alkylamino, imidazolyl, C_{1-6} alkylpiperazinyl, morpholino, thiol (SH), thioether (SR_x), tetrazole, carboxy (COOH), carboxylate ($COOR_x$), sulphoxy ($S(=O)_2OH$), sulphonate ($S(=O)_2OR_x$), sulphonyl ($S(=O)_2R_x$), sulphixy (S(=O)OH), sulphinate ($S(=O)OR_x$), sulphinyl ($S(=O)R_x$), phosphonooxy ($OP(=O)(OH)_2$), and phosphate ($OP(=O)(OR_x)_2$), where R_x, R_x^1 and R_x^2 are selected from a C_{1-6} alkyl group, a C_{3-20} heterocyclyl group or a C_{5-20} aryl group; and

R^3, R^4, R^5, R^6, R^7, and R^8 independently represent H, C_{1-6} alkyl, C_{3-20} heterocyclyl, C_{5-20} aryl, C_{1-6} alkoxy, hydroxy (OH), amino (NH_2), mono-substituted amino (NR_xH), di-substituted amino ($NR_x^1R_x^2$), nitro (NO_2), halogen, CF_3, CN, $CONH_2$, SO_2Me, CONHMe, cyclic C_{1-5} alkylamino, imidazolyl, C_{1-6} alkylpiperazinyl, morpholino, thiol (SH), thioether (SR_x), tetrazole, carboxy (COOH), carboxylate ($COOR_x$), sulphoxy ($S(=O)_2OH$), sulphonate ($S(=O)_2OR_x$), sulphonyl ($S(=O)_2R_x$), sulphixy (S(=O)OH), sulphinate ($S(=O)OR_x$), sulphinyl ($S(=O)R_x$), phosphonooxy ($OP(=O)(OH)_2$), and phosphate ($OP(=O)(OR_x)_2$), where R_x, R_x^1 and R_x^2 are selected from a C_{1-6} alkyl group, a C_{3-20} heterocyclyl group or a C_{5-20} aryl group; and

wherein R^1, R^2, R^3, R^4, R^5, R^6, R^7, and R^8 can be a part of one or more aliphatic or aromatic cyclic structures, two or more of the substituents R^1, R^2, R^3, R^4, R^5, R^6, R^7, or R^8 optionally being connected to one another to form one or more aliphatic or aromatic cyclic structures.

12. A compound according to any of the preceding claims, wherein group **A** is an ω-amino aminocarbonyl cyclization spacer, and **Z** is a moiety coupled via its hydroxyl group to **A**.

13 A compound according to any of the preceding claims wherein $w + x > 0$.

14 A compound according to any of the preceding claims wherein

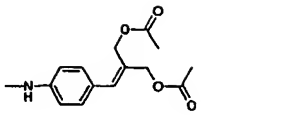
$(W\text{-})_w(X\text{-})_x\mathbf{C}_c$,

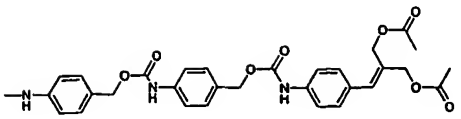
$(W\text{-})_w(X\text{-})_x\mathbf{C}(D_d)_c$,

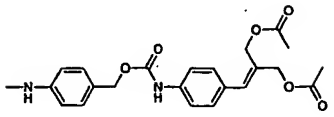
$(W\text{-})_w(X\text{-})_x\mathbf{C}(D(E_e)_d)_c$ or

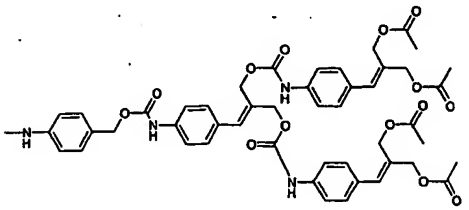
$(W\text{-})_w(X\text{-})_x\mathbf{C}(D(E(F_f)_e)_d)_c$

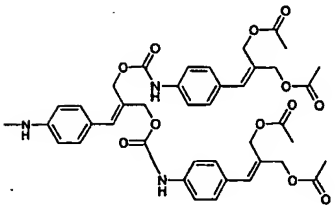
is selected from the group consisting of

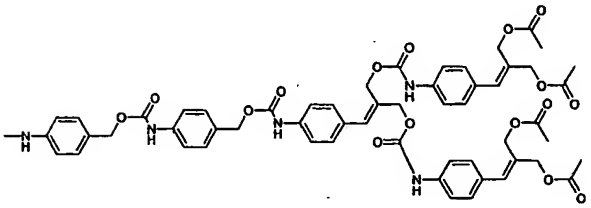


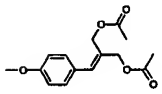


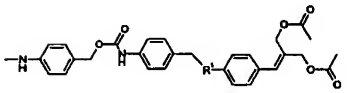


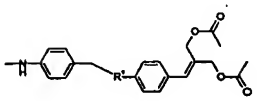


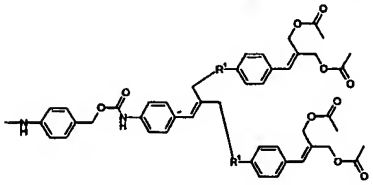


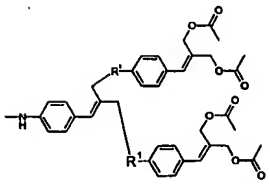


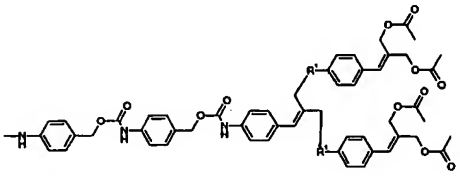


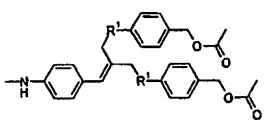


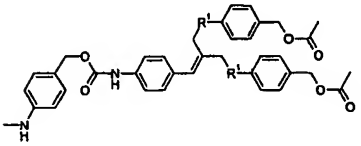


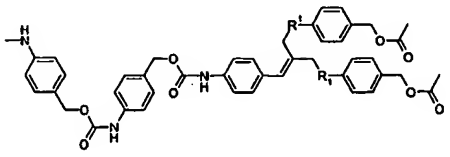


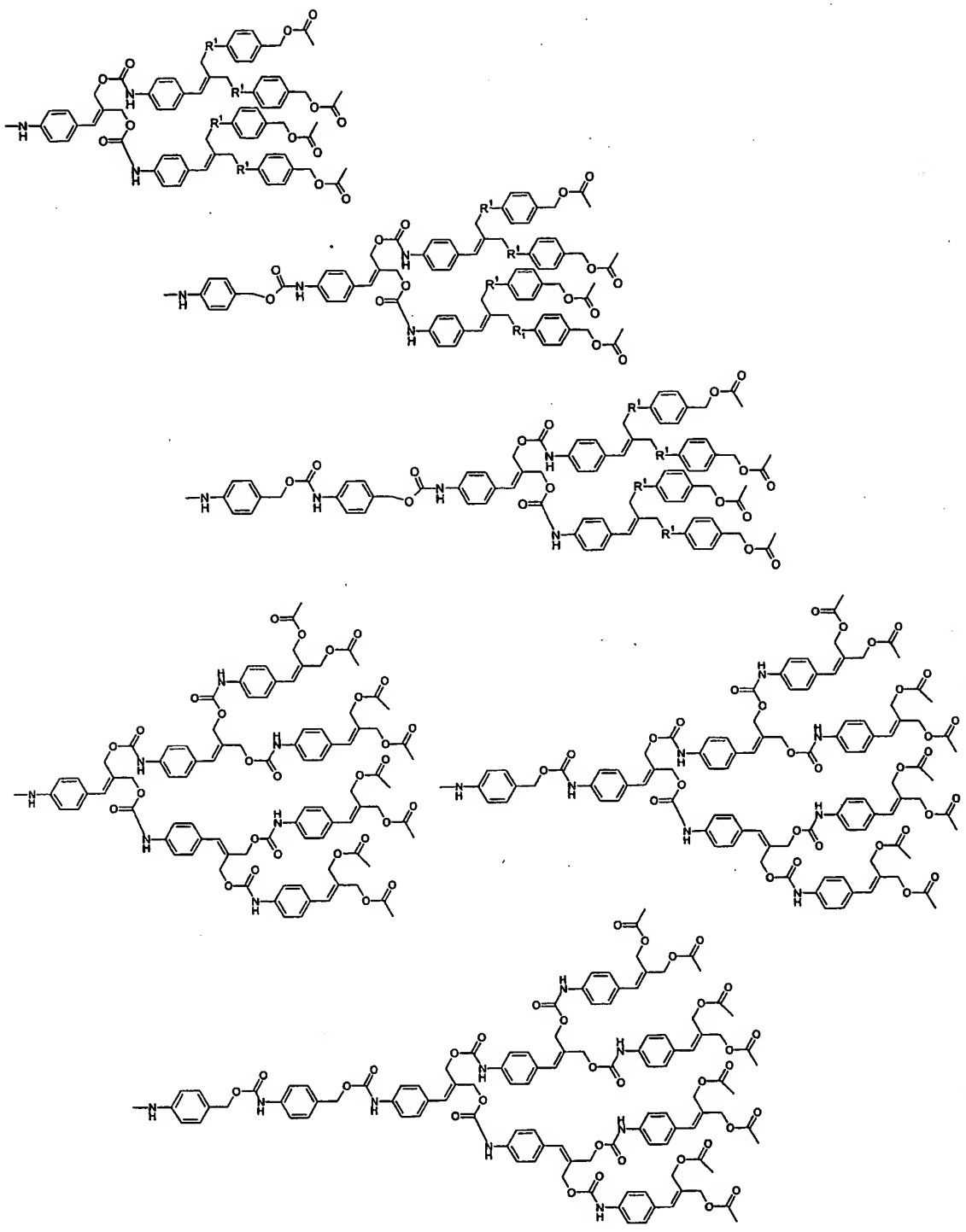


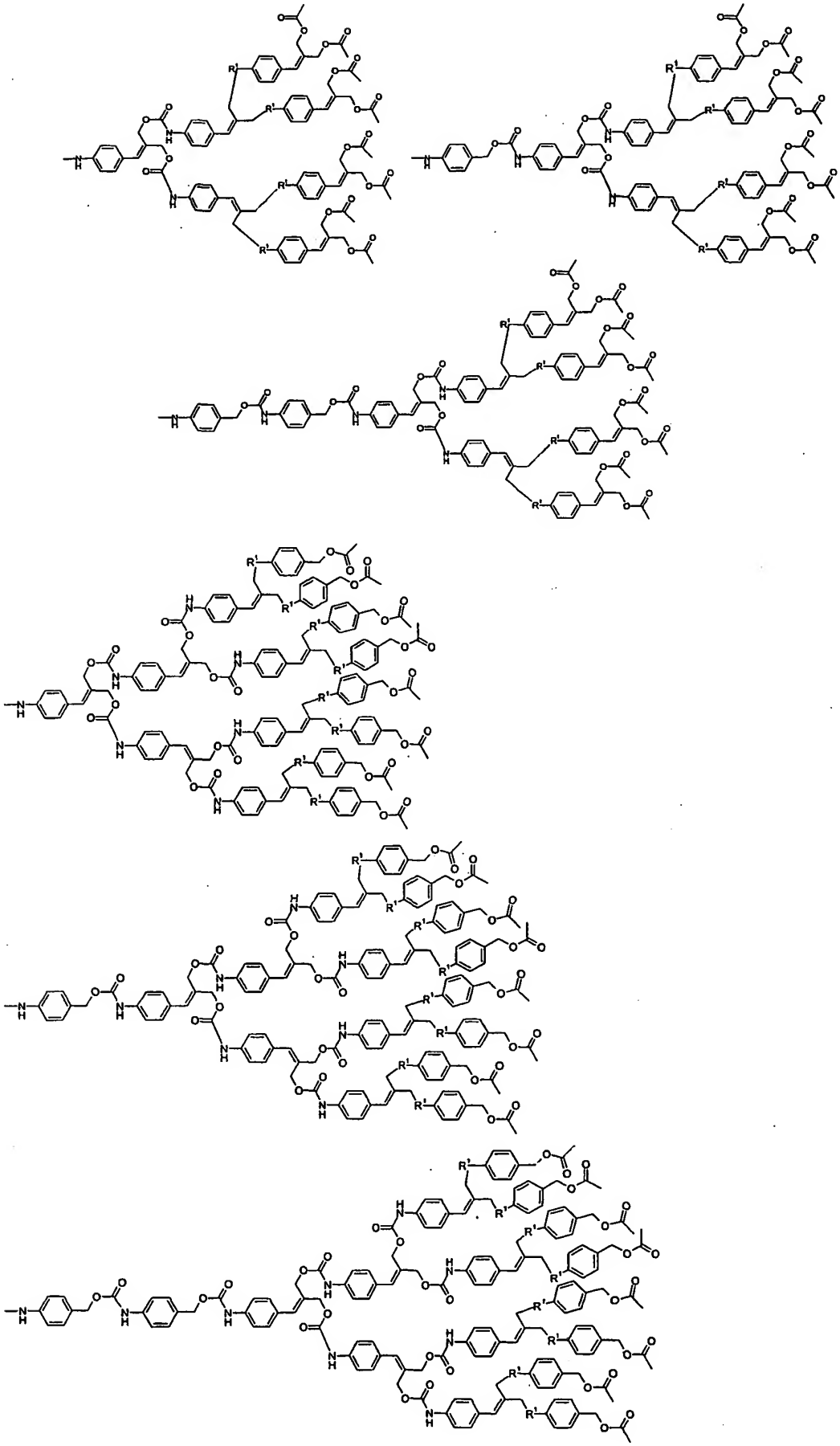


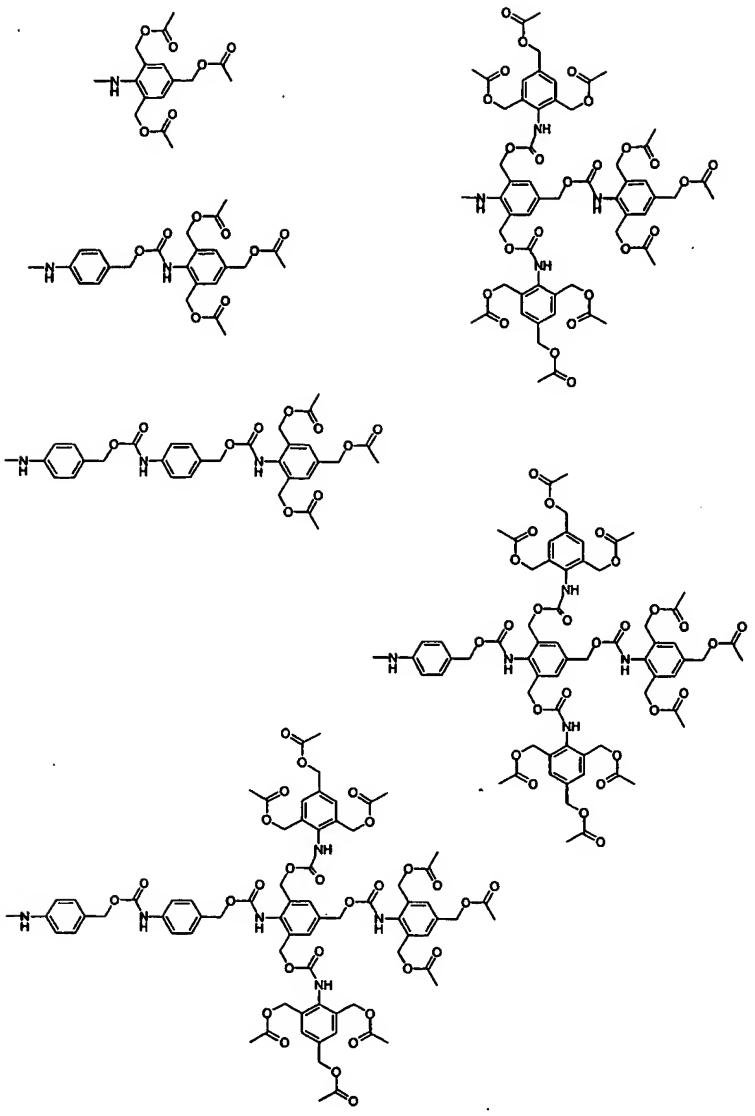


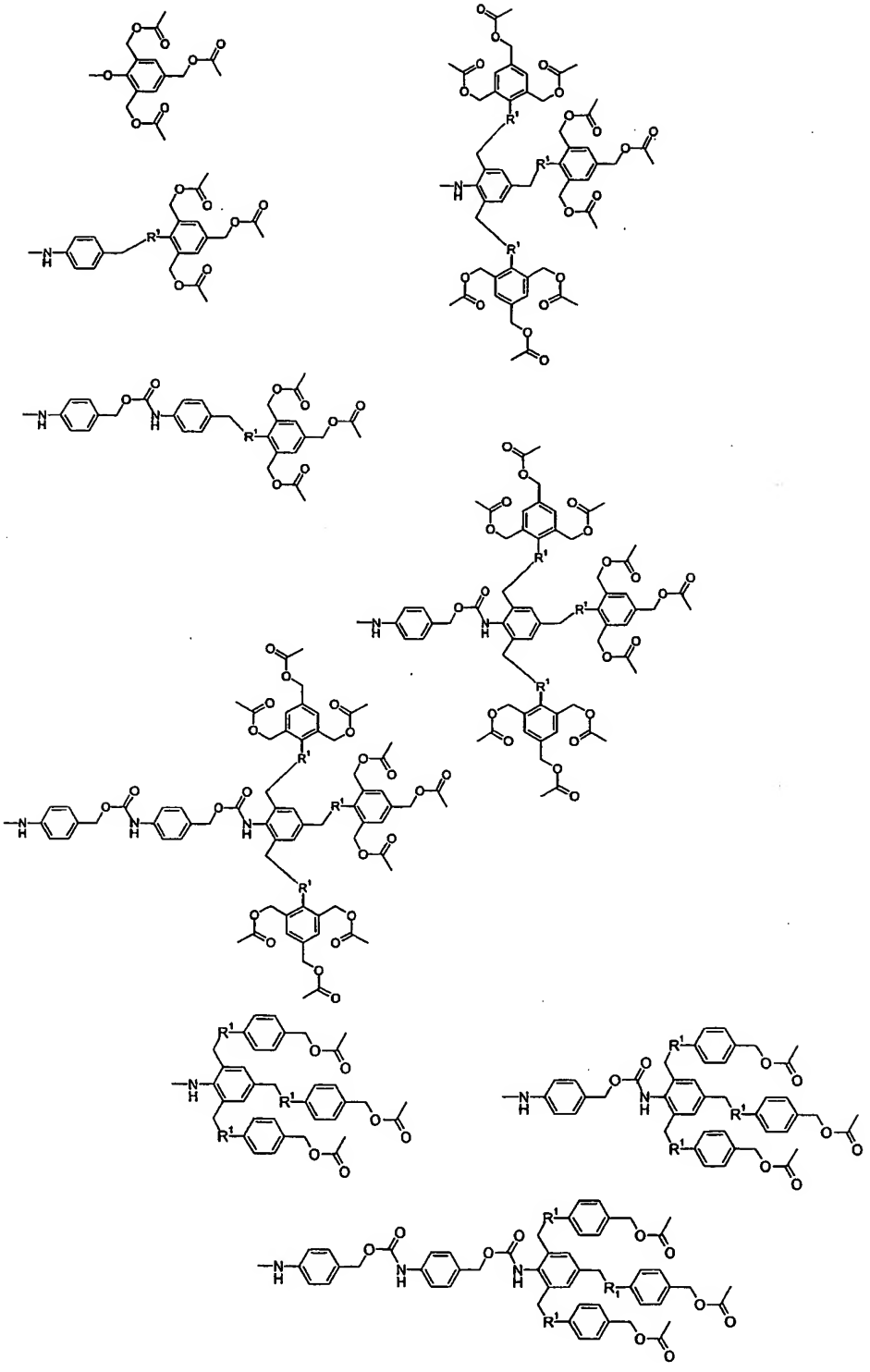


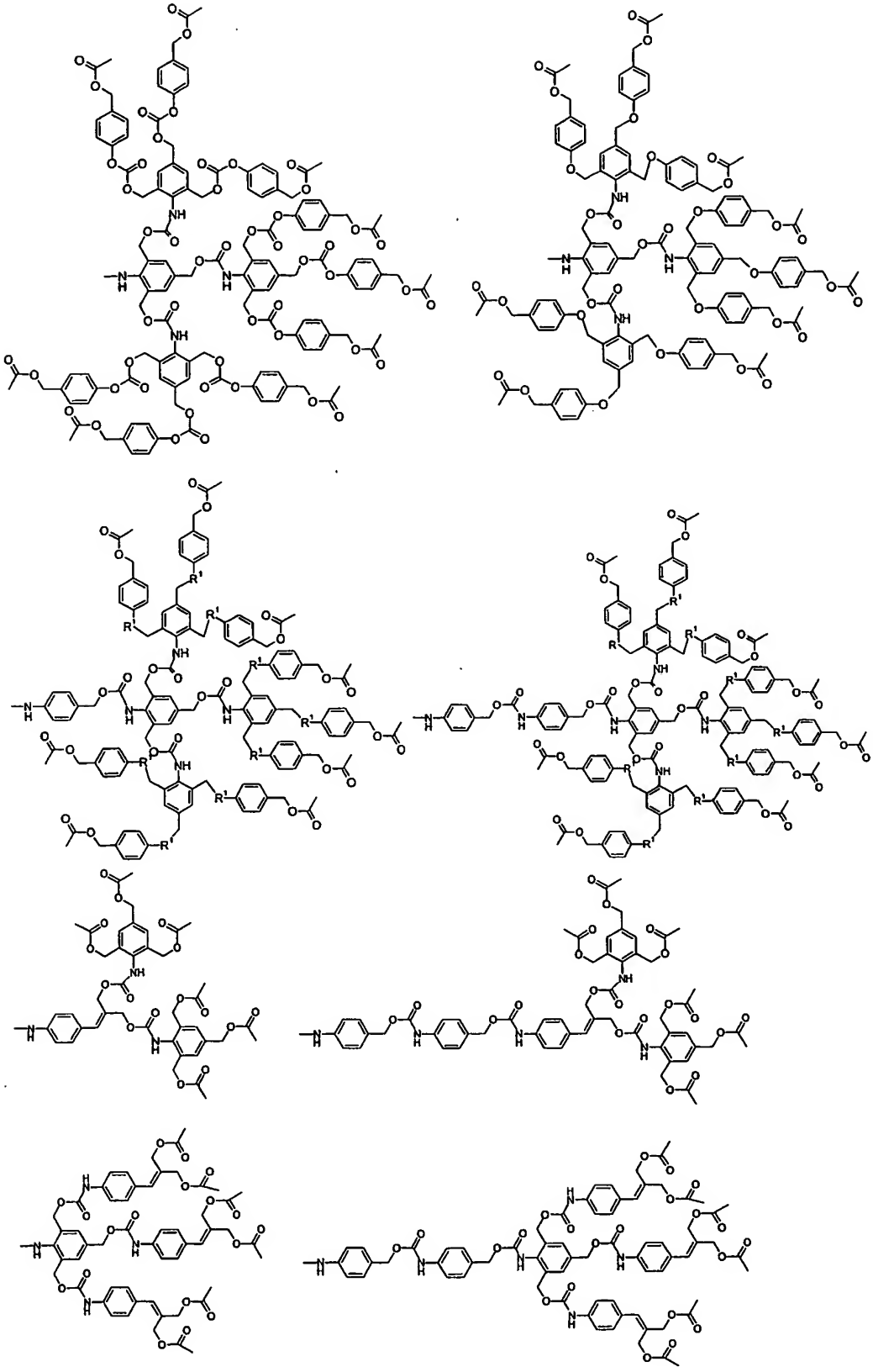


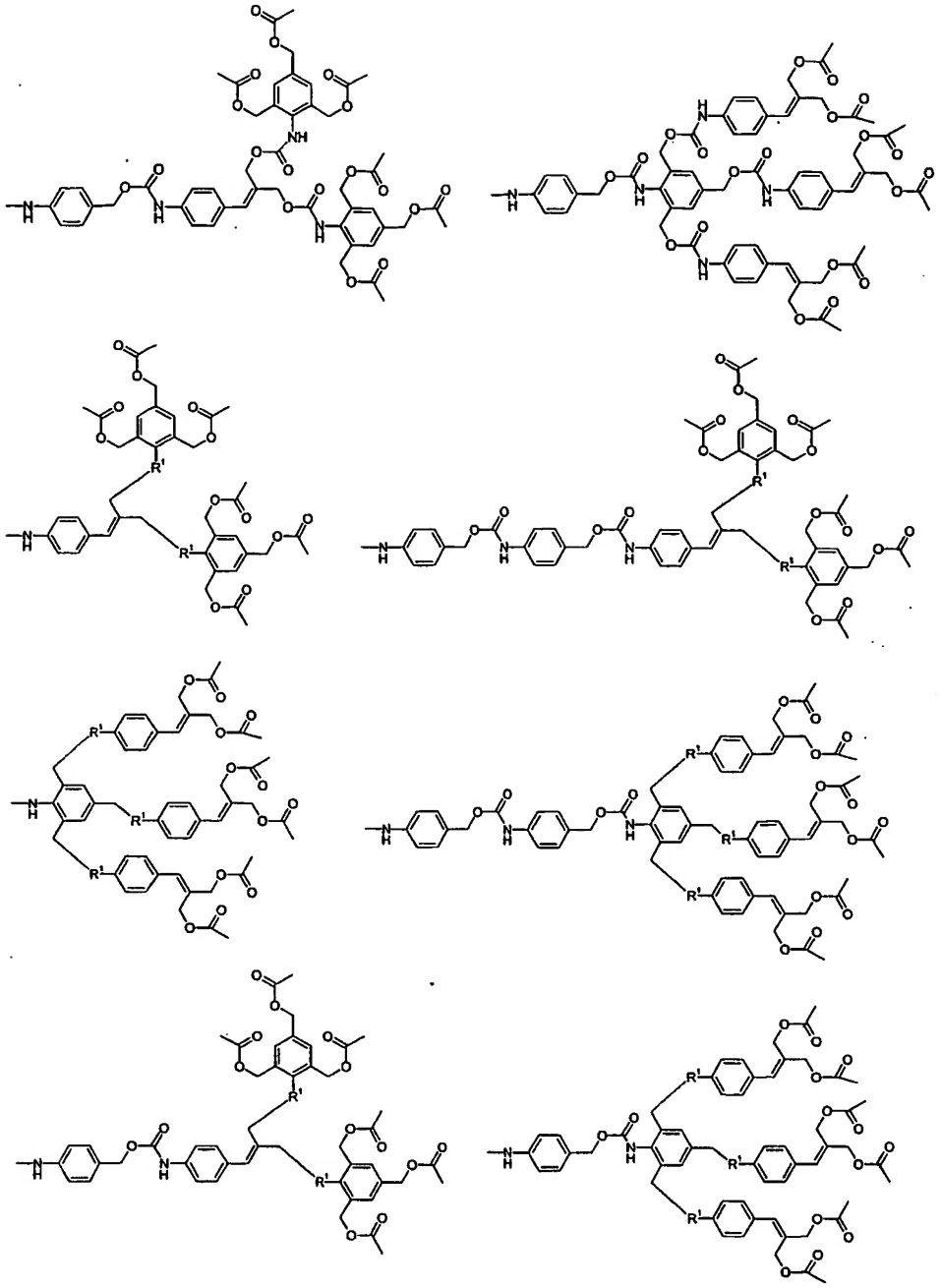


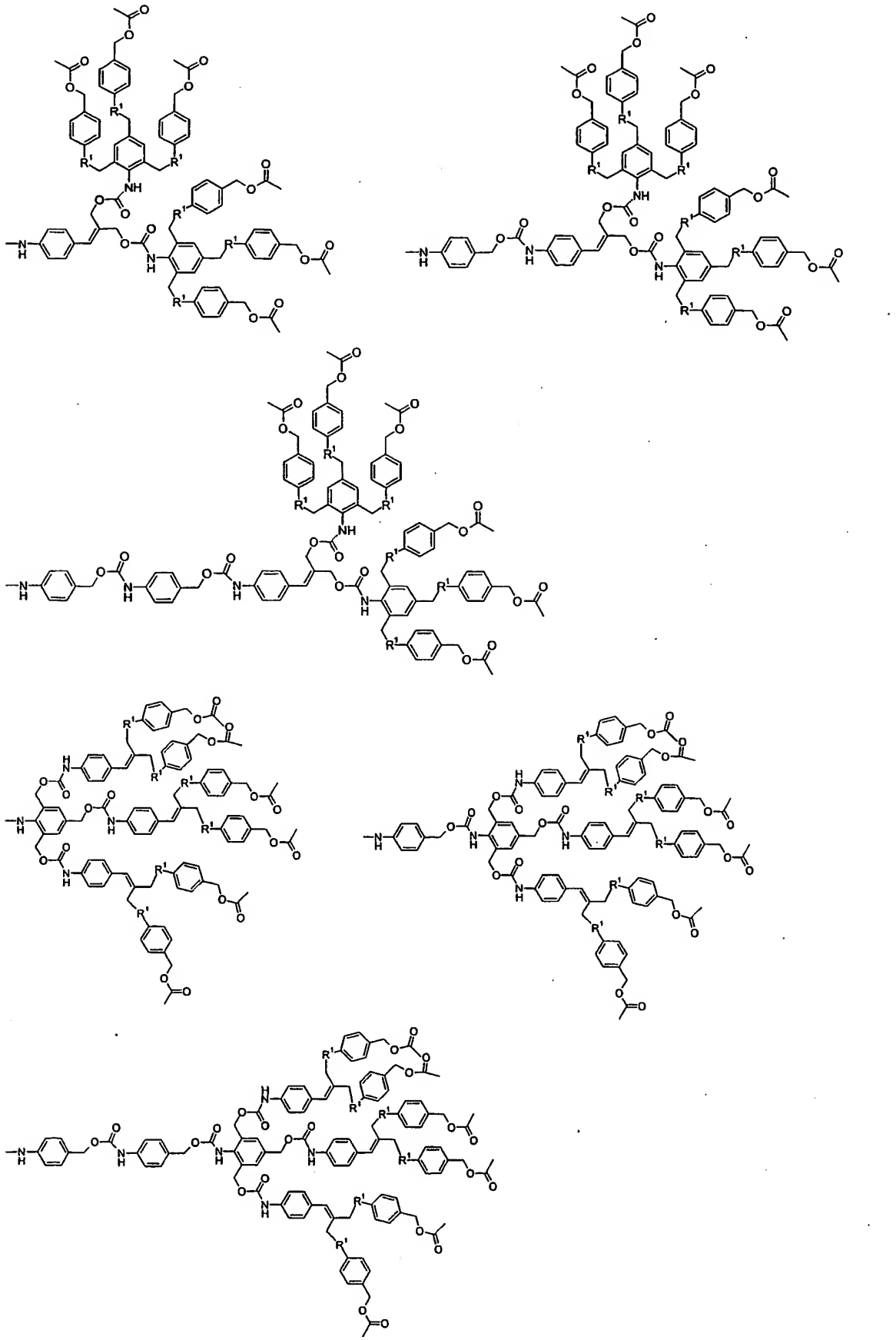












R^1 = O or OC(O)O

and from the compounds depicted above wherein single release 1,6-elimination p-aminobenzyloxycarbonyl spacer(s) are replaced by single release 1,8-elimination p-aminocinnamyloxycarbonyl spacer(s).

15 A compound according to claim 14 which further comprises ω-amino aminocarbonyl cyclization spacers **A**.

16 A compound according to any of the preceding claims wherein the specifier **V** contains a substrate that can be cleaved by plasmin, one of the cathepsins, cathepsin B, β-glucuronidase, prostate-specific antigen (PSA), urokinase-type plasminogen activator (u-PA), a member of the family of matrix metalloproteinases, or wherein the specifier **V** is **[O]** or contains a nitro-(hetero)aromatic moiety that can be removed or transformed by reduction under hypoxic conditions or by reduction by a nitroreductase.

17 A compound according to any of the preceding claims wherein **Z** is selected from an antibiotic, an anti-inflammatory agent, an anti-viral agent, and preferably an anticancer agent.

18 The compound of claim 17 wherein **Z** is selected from
(hydroxyl containing cytotoxic compounds) etoposide, combrestatin, camptothecin, irinotecan (CPT-11), SN-38, topotecan, 9-aminocamptothecin, 9-nitrocamptothecin, 10-hydroxycamptothecin, GG211, lurtotecan, paclitaxel, docetaxel, esperamycin, 1,8-dihydroxy-bicyclo[7.3.1]trideca-4-ene-2,6-diyne-13-one, anguidine, doxorubicin, morpholine-doxorubicin, N-(5,5-diacetoxypentyl) doxorubicin, daunorubicin, epirubicin, idarubicin, mitoxantrone, vincristine, vinblastine, tallysomycin, bleomycin, 4-bis(2-chloroethyl)aminophenol, 4-bis(2-fluoroethyl)aminophenol, and derivatives thereof,
(sulfhydryl containing compounds) esperamicin and 6-mercaptopurine, and derivatives thereof,
(carboxyl containing compounds) methotrexate, aminopterin, camptothecin (ring-opened form of the lactone), chlorambucil, melphalan, butyric acid and retinoic acid, and derivatives thereof, and

(aziridine amino containing or aromatic amino containing compounds) mitomycin C, mitomycin A, an anthracycline derivative containing an amine functionality with sufficient leaving group ability, mitoxantrone, 9-amino camptothecin, methotrexate, aminopterin, tallysomycin, bleomycin, actinomycin, N,N-bis(2-chloroethyl)-p-phenylenediamine, N,N-bis(2-fluoroethyl)-p-phenylenediamine, deoxycytidine, cytosine arabinoside, gemcitabine, and derivatives thereof, and (aliphatic amino containing compounds) daunorubicin, doxorubicin, epirubicin, idarubicin, N-(5,5-diacetoxypentyl)doxorubicin, an anthracycline, N^8-acetyl spermidine, 1-(2-chloroethyl)-1,2-dimethanesulfonyl hydrazine, or derivatives thereof.

19 A compound according to claim 18 wherein **Z** represents paclitaxel, docetaxel, or a derivative thereof, which is coupled to the self-eliminating multiple release spacer or spacer system via its 2'-hydroxyl group.

20 A compound according to claim 18 wherein **Z** represents camptothecin, irinotecan (CPT-11), SN-38, topotecan, 9-aminocamptothecin, 9-nitrocamptothecin, 10-hydroxycamptothecin, GG211, lurtotecan, or a derivative thereof, which is coupled to the self-eliminating multiple release spacer or spacer system via its 20-hydroxyl group.

21 A compound according to claim 18 wherein **Z** represents SN-38, topotecan, 10-hydroxycamptothecin, etoposide, 4-bis(2-chloroethyl)aminophenol, 4-bis(2-fluoroethyl)aminophenol, or a derivative thereof, which is coupled to the self-eliminating multiple release spacer or spacer system via its phenolic hydroxyl group.

22 A compound according to claim 18 wherein **Z** represents 9-aminocamptothecin, N,N-bis(2-chloroethyl)-p-phenylenediamine, N,N-bis(2-fluoroethyl)-p-phenylenediamine, or a derivative thereof, which is coupled to the self-eliminating multiple release spacer or spacer system via its aromatic primary amine group.

23 A compound according to claim 18 wherein **Z** represents daunorubicin, doxorubicin, epirubicin, idarubicin, N-(5,5-diacetoxypentyl)doxorubicin, an anthracycline, N^8-acetyl spermidine, 1-(2-chloroethyl)-1,2-dimethanesulfonyl

hydrazine, or derivatives thereof, which is coupled to the self-eliminating multiple release spacer or spacer system via its primary aliphatic amino group and wherein at least one multiple release spacer or spacer system of either generation **C**, **D** (if present), **E** (if present), or **F** (if present) is a phenol- or thiophenol-based multiple release spacer or spacer system, meaning that

i) **B** = O or S for at least one multiple release spacer in said generation, or

ii) when **B** = N for all multiple release spacers in said generation, at least one single release spacer is connected to at least two branches of at least one multiple release spacer in said generation, and **B** = O or S for at least two of said single release spacers.

24 A compound according to claim 23 wherein **B** = O or S for all multiple release spacers or spacer systems in said generation.

25 A compound according to claims 23 or 24, wherein the phenol- or thiophenol-based multiple release spacers are connected to either **A** or **Z** or **S**, wherein S is as defined in claim 26.

26 A compound having a formula selected from

$V\text{-}(W\text{-})_w(X\text{-})_xC((A\text{-})_aS)_c$,

$V\text{-}(W\text{-})_w(X\text{-})_xC(D((A\text{-})_aS)_d)_c$,

$V\text{-}(W\text{-})_w(X\text{-})_xC(D(E((A\text{-})_aS)_e)_d)_c$, and

$V\text{-}(W\text{-})_w(X\text{-})_xC(D(E(F((A\text{-})_aS)_f)_e)_d)_c$,

wherein:

V, **W**, **X**, **C**, **D**, **E**, **F**, **A**, w, x, c, d, e, f, and a are defined as in the preceding claims, and each **S** independently has no meaning or is H, OH, or a reactive moiety that allows for coupling the multiple release spacer system to leaving groups **Z**, which may be the same or different, to afford compounds

$V\text{-}(W\text{-})_w(X\text{-})_xC((A\text{-})_aZ)_c$,

$V\text{-}(W\text{-})_w(X\text{-})_xC(D((A\text{-})_aZ)_d)_c$,

$V\text{-}(W\text{-})_w(X\text{-})_xC(D(E((A\text{-})_aZ)_e)_d)_c$, and

$V\text{-}(W\text{-})_w(X\text{-})_xC(D(E(F((A\text{-})_aZ)_f)_e)_d)_c$, respectively.

27 A compound according to claim 26 wherein the reactive moiety S is connected via a carbonyl group to the multiple release spacer or spacer system.

28 A compound according to claim 27 wherein S represents *N*-succinimidyl-*N*-oxide, *p*-nitrophenoxide, pentafluorophenoxide, or chloride.

29 A compound according to claim 26 wherein S is connected to the methylene group of the multiple release spacer or spacer system.

30 A compound according to claim 29 wherein S represents chloride, bromide, *p*-toluenesulfonate, trifluoromethylsulfonate, or methylsulfonate.

31 A compound according to any of the preceding claims wherein the specifier V is a tripeptide.

32 A compound according to claim 31 wherein the tripeptide is linked via its C-terminus to the self-eliminating multiple release spacer or spacer system.

33 The compound of claim 32 wherein the C-terminal amino acid residue of the tripeptide is selected from arginine and lysine, the middle amino acid residue of the tripeptide is selected from alanine, valine, leucine, isoleucine, methionine, phenylalanine, cyclohexylglycine, tryptophan and proline, and the N-terminal amino acid residue of the tripeptide is selected from a D-amino acid residue and a protected L-amino acid residue including protected glycine.

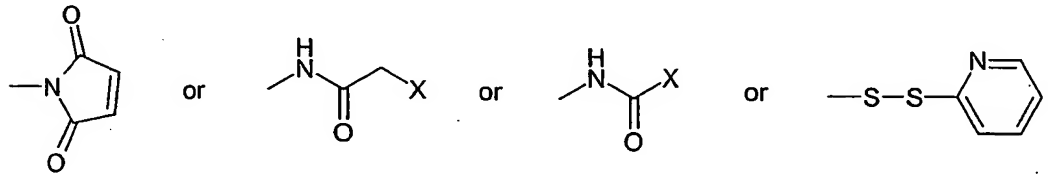
34 A compound according to claim 33 wherein the specifier V is selected from D-alanylphenylalanyllysine, D-valylleucyllysine, D-alanylleucyllysine, D-valylphenylalanyllysine, D-valyltryptophanyllysine and D-alanyltryptophanyllysine.

35 A compound according to any of the preceding claims wherein the specifier V is an amino-terminal capped peptide covalently linked via the C-terminus to the self-eliminating multiple release spacer or spacer system.

36 The compound of claim 35 wherein the specifier V is selected from benzyloxycarbonylphenylalanyllysine, benzyloxycarbonylvalyllysine, D-phenylalanylphenylalanyllysine, benzyloxycarbonylvalylcitrulline, tert-butyl oxycarbonylphenylalanyllysine, benzyloxycarbonylalanylarginylarginine, benzyloxycarbonylphenylalanyl-N-tosylarginine, 2-aminoethylthiosuccinimidopropionylvalinylcitrulline, 2-aminoethylthiosuccinimidopropionyllysylphenylalanyllysine, acetylphenylalanyllysine, and benzyloxycarbonylphenylalanyl-O-benzoylthreonine.

37 A compound according to any of the preceding claims wherein the specifier V comprises a reactive moiety that can be used to couple said compound to a targeting moiety.

38 A compound according to claim 37 in which the reactive moiety is



,wherein X is een leaving group.

39 A compound according to claim 37 in which the reactive moiety is an *N*-hydroxysuccinimide ester, a *p*-nitrophenyl ester, a pentafluorophenyl ester, an isothiocyanate, an isocyanate, an anhydride, an acid chloride, a sulfonyl chloride, and an aldehyde.

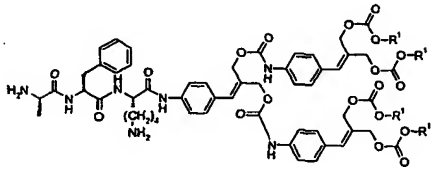
40 A compound according to claim 37 in which the reactive moiety is a hydrazine group or an amino group.

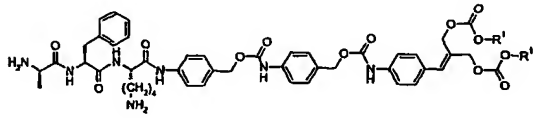
41 A compound according to any of the preceding claims wherein the specifier V comprises a targeting moiety.

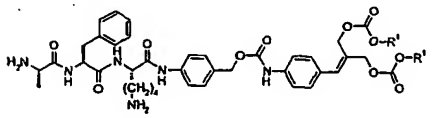
42 A compound according to claim 41 in which the targeting moiety is selected from the group consisting of a protein or protein fragment, an antibody or an antibody

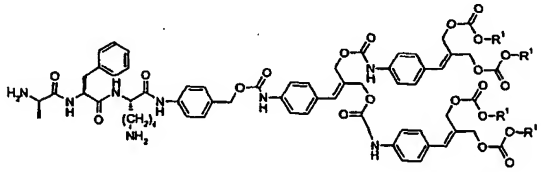
fragment, a receptor-binding or peptide vector moiety and a polymeric or dendritic moiety.

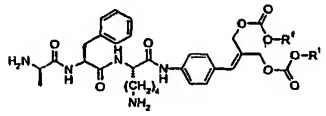
43 A compound according to any of the preceding claims selected from the group consisting of

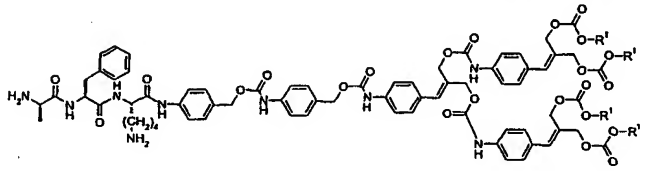


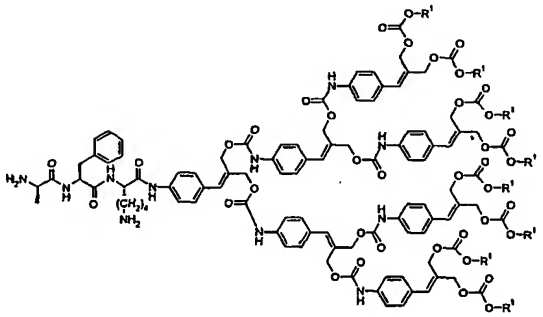


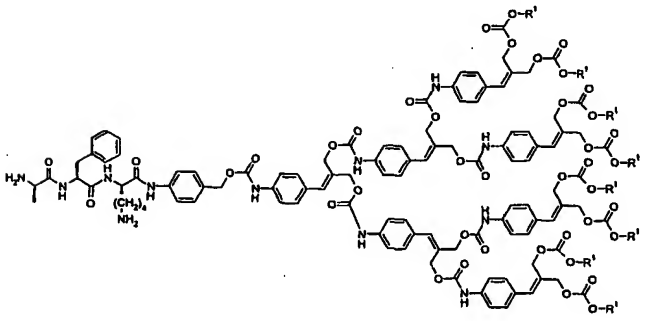


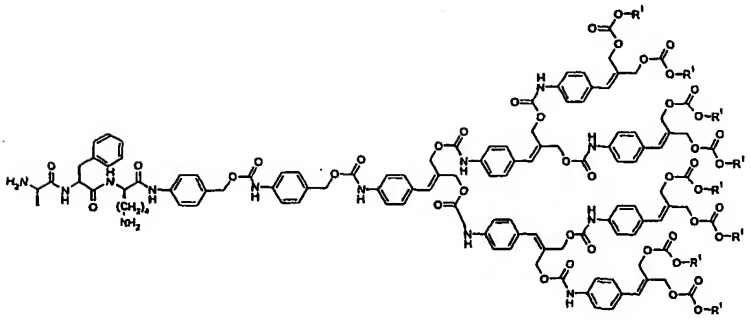


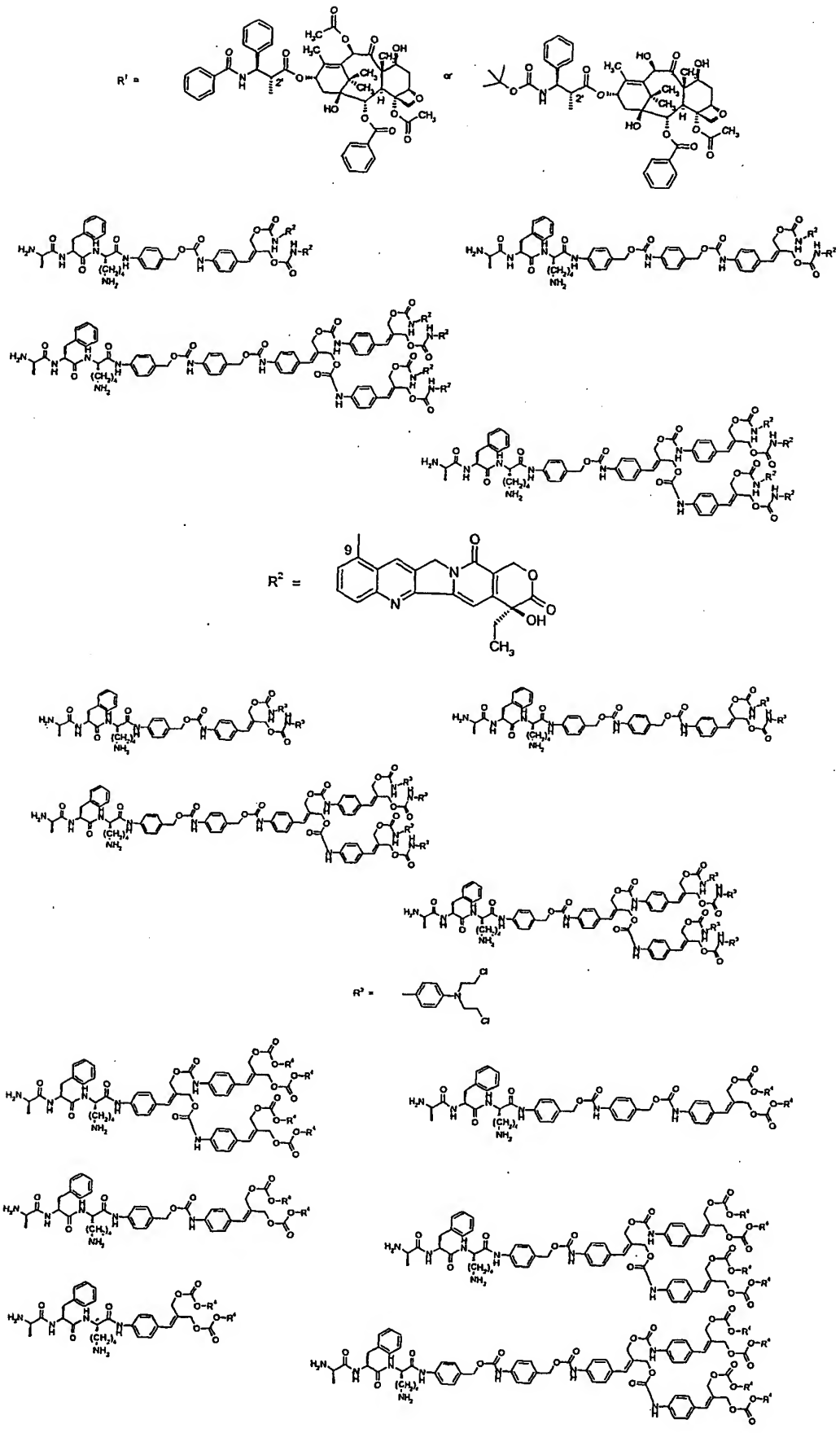


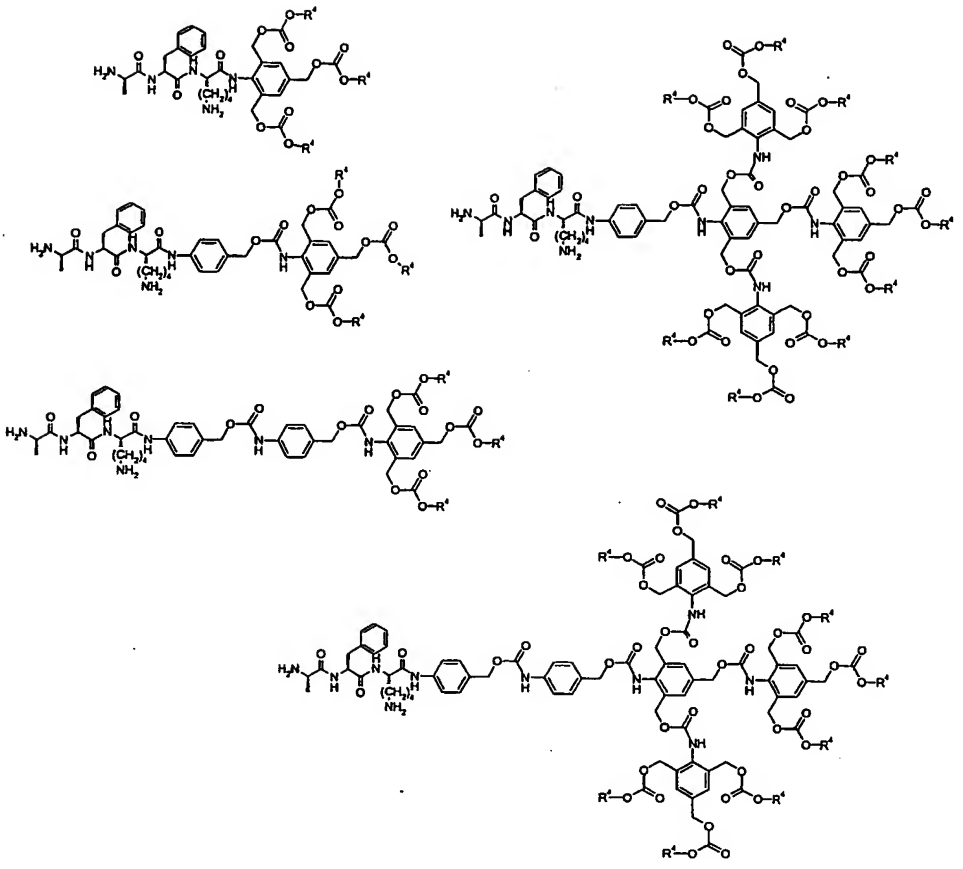




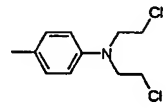


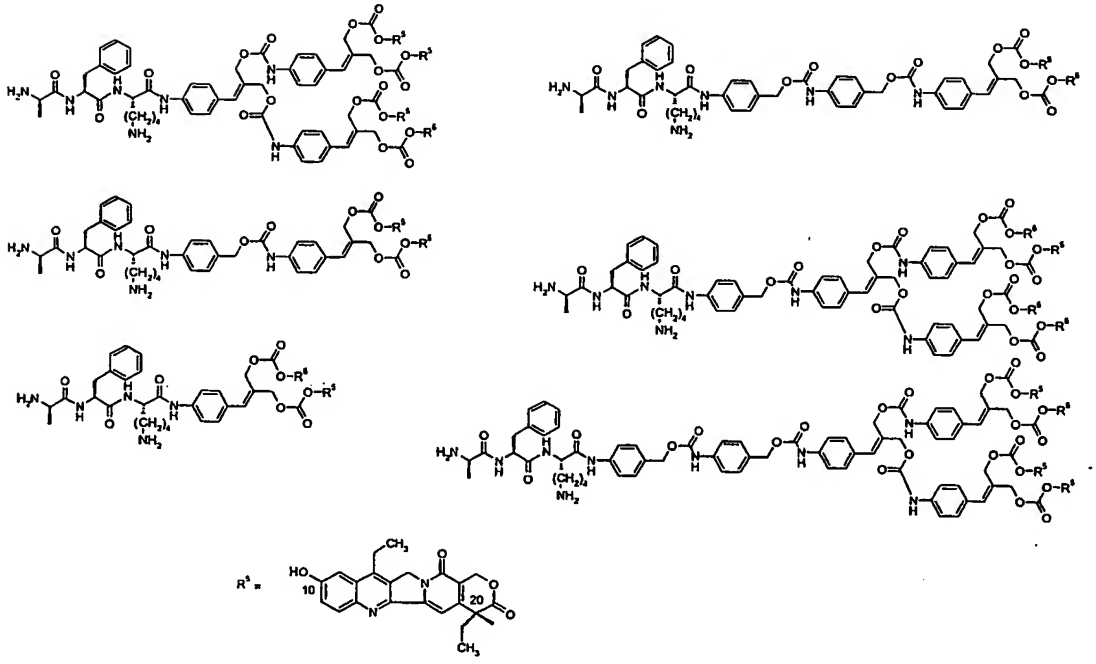




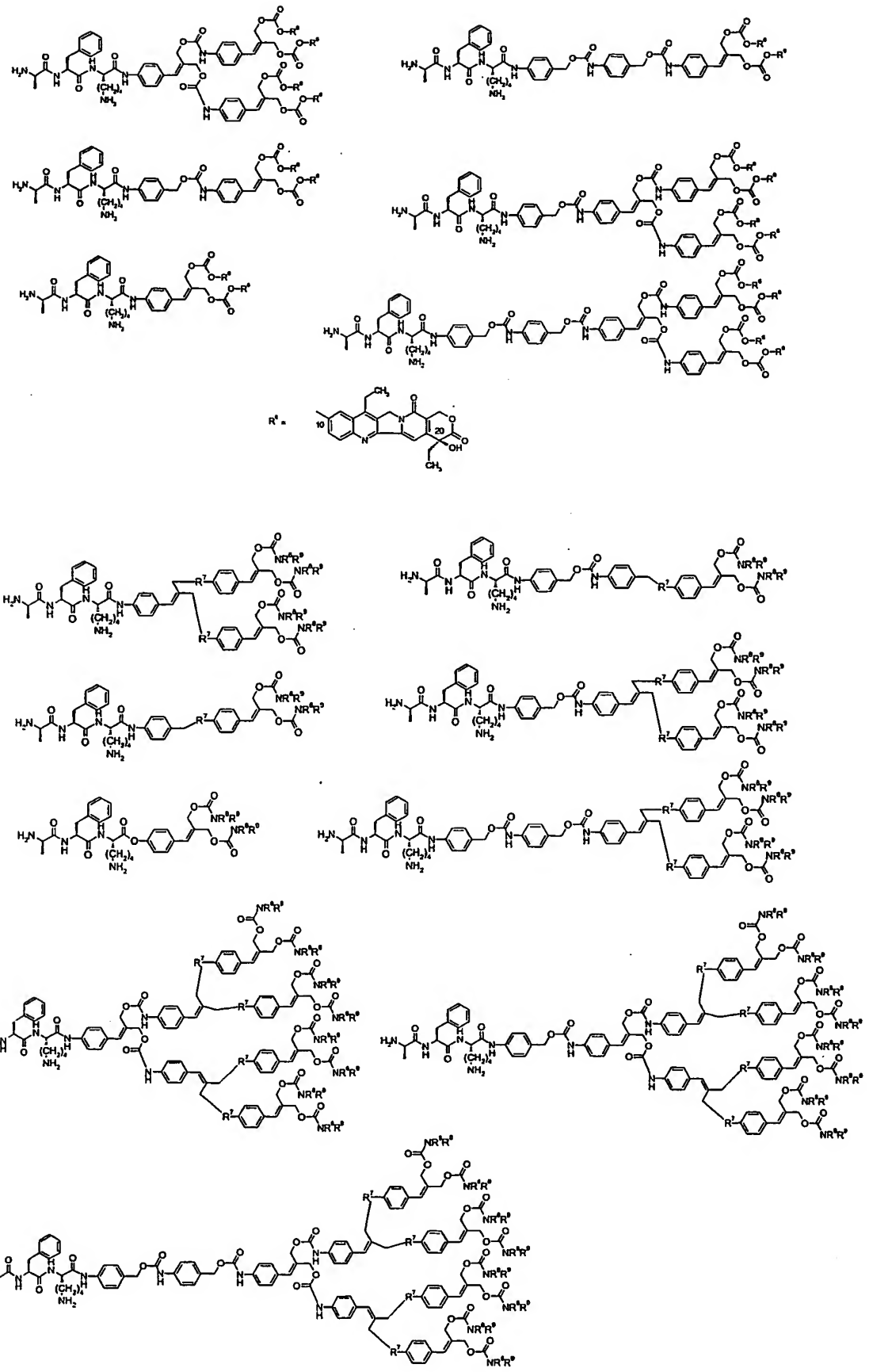


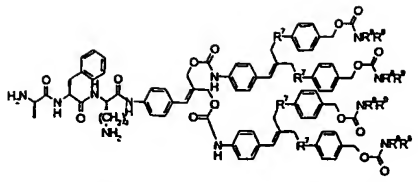
R^4 =

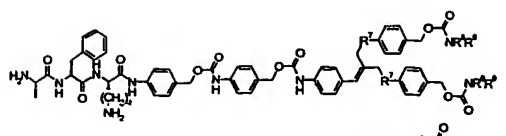


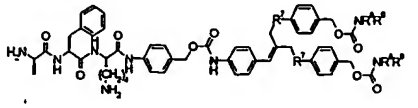


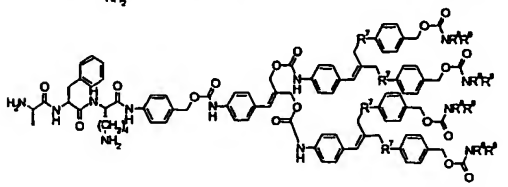
R^5 =

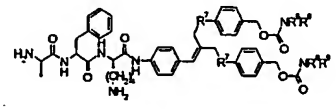


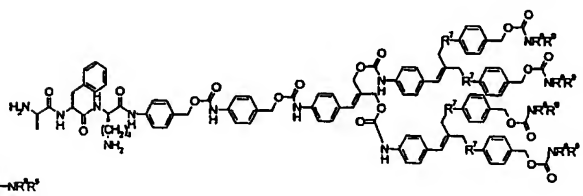


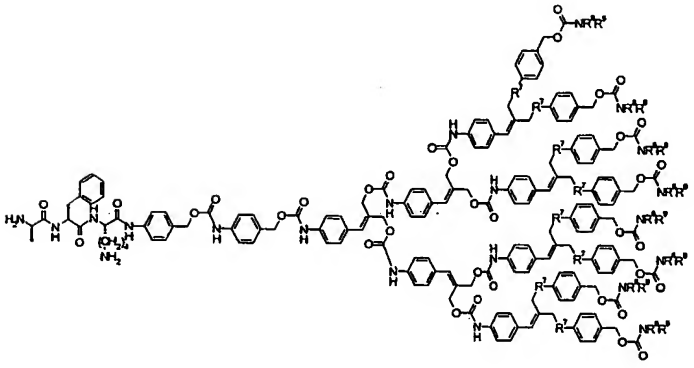


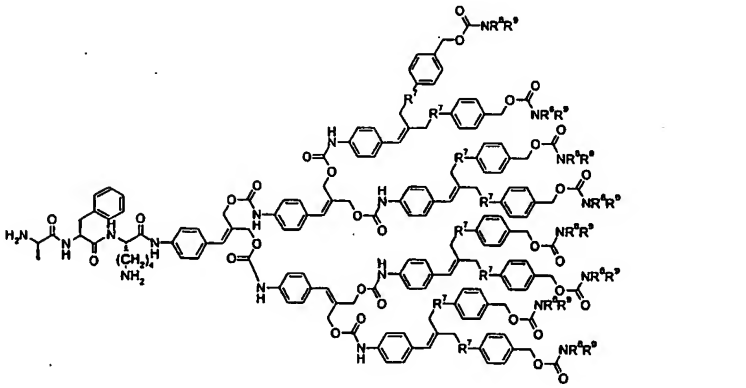


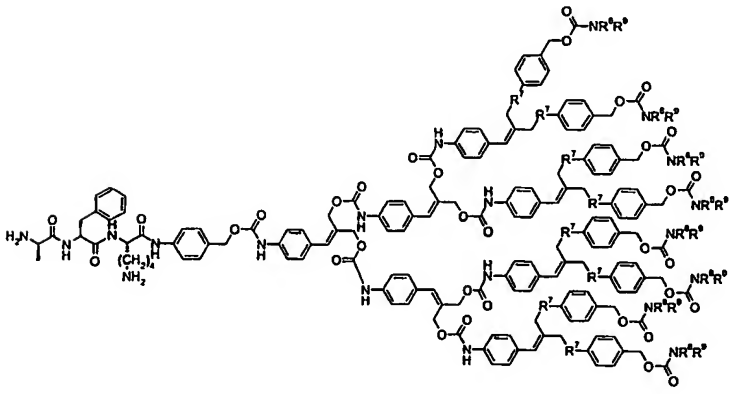


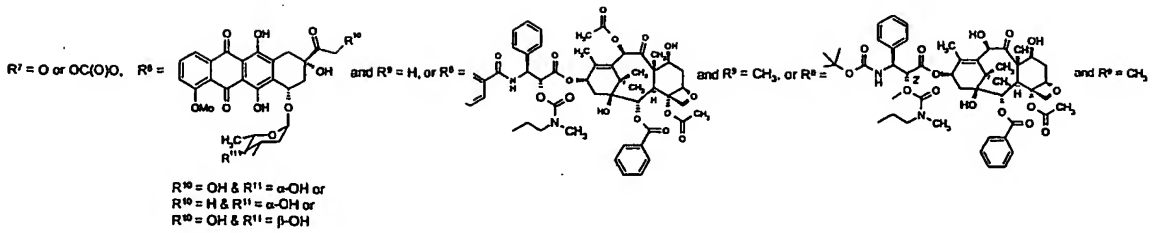












and salts thereof.

44 The use of a compound according to claim 26-30 or 37-40 for the preparation of a compound of claim 4.

45 Diagnostic assay process in which a compound according to any of the preceding claims is used.

46 Process according to claim 45 in which the presence or amount of an enzyme is determined.

47 Process according to claim 46 in which the presence or amount of a protease is determined.

48 Process according to claim 47 in which the compound that is used comprises a substrate for said protease and leaving group **Z** is detected.

49 Process according to claim 47 in which the compound that is used comprises a substrate for an enzyme, which is the product of cleavage of its pro-enzyme precursor by said protease and leaving group **Z** is detected.

50 A composite structure comprising two or more compounds according to any of the preceding claims, connected with a polymeric structure.

51 A compound according to any of the preceding claims, wherein the specifier **V** is removed or transformed by an enzyme that is transported to the vicinity of or inside target cells or target tissue via ADEPT, PDEPT, MDEPT, VDEPT, or GDEPT.

52 Use of a compound according to any of the preceding claims for the preparation of a pharmaceutical composition for the treatment of a mammal being in need thereof.

53 A pharmaceutical composition comprising a compound according to any of claims 1 to 51.

54 A process for preparing a pharmaceutical composition comprising the step of mixing a compound according to any of claims 1 to 51 with a pharmaceutically acceptable carrier.

55 A method of treating a mammal being in need thereof, whereby the method comprises the administration of a pharmaceutical composition according to claim 52 or 53 or is obtained according to the process of claim 54, to the mammal in a therapeutically effective dose.